(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
28 July 2005 (28.07.2005)

PCT

(10) International Publication Number
WO 2005/067865 A1

(51) International Patent Classification[7]: A61K 6/00

(21) International Application Number:
PCT/AU2004/001817

(22) International Filing Date:
22 December 2004 (22.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2004900176 15 January 2004 (15.01.2004) AU

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)*

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)*

— *of inventorship (Rule 4.17(iv)) for US only*

Published:

— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ORAL ANAESTHETIC GEL

(57) Abstract: The invention is a gel-based anaesthetic, which is also palatable and can be used on mucosal surfaces. It is an oral anaesthetic gel including an anaesthetic in a transdermal gel base having added flavouring with a bitterness suppressant.

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ORAL ANAESTHETIC GEL

Area of the Invention

This invention relates to the area of topical anaesthesia or desensitisation. In particular it relates to a topical anaesthetic which is adapted to be used on mucous membranes and can be usefully applied in the field of dentistry despite having other applications.

Background to the Invention

Local anaesthetics have been used in creams and ointments for many years. Usually however there is a problem with the penetration of the drug or chemical due to the physio-chemical properties of both the drug and the base in which it is used.

In addition one of the products used topically on non mucous membranes is a cream and is not suitable for use on mucous membranes such as in the mouth. Another product which can be used orally is a paste that has been formulated for the mouth but unfortunately does not adhere to the gum or mouth particularly well when used for dental purposes.

It has been suggested that a gel base could be used to adhere in the mouth however to date none exist which are able to provide the anaesthetic effect

required and additionally are quite unpalatable and therefore unsuitable for oral anaesthetic use.

Outline of the Invention

It is an object of this invention to provide a topical anaesthetic for use on mucosal surfaces which does not exhibit the problems outlined above. It is a further object of the invention to provide such a topical anaesthetic which is sufficiently palatable that it can readily be used for dental purposes.

The invention is an oral anaesthetic gel including an anaesthetic in a transdermal gel base having added flavouring with a bitterness suppressant.

It is preferred that the gel base used be Pluronic Lecithin Organogel (PLO or Pluronic Gel) and that its viscosity be adjusted as required by the addition of suitable thickeners. It is further preferred that PLO strengths range from 2% to 20%.

It is further preferred that the active agent or ingredient , otherwise referred to as the active pharmaceutical ingredient (API) be lignocaine base USP or alternatively the HCL salt. It is also preferred that other active ingredients may be tetracaine benzocaine, amethocaine or prilocaine as salts.

In order that the invention may be more readily understood we shall describe by way of non limiting example a particular embodiment of the invention.

Examples of Embodiments of the Invention

A first preferred application of the invention is in the area of dentistry and will be described here.

This embodiment of the invention is a gel formulation that is very quickly absorbed into the mucosa. As absorption is rapid the dentist can inject anaesthetic into a patient's gum with a major reduction in pain in the injection site in as little as 30 seconds or up to 2 minutes.

Trauma is further reduced psychologically by the presence of palatable flavouring in the gel which masks the customary bitter taste of the analgesic material used as the anaesthetic.

Examples of the invention to be described here are PLO gel formulations having Lignocaine and being flavoured.

Dental Anaesthetic Gel

A preferred formulation for a dental anaesthetic gel is as follows:

Lignocaine USP 10.0g

Sodium Metabisulphite 0.1g

Ethoxydiglycol Reageant 10ml

Lecithin Isopropyl Palmitate/Myristate Solution 22ml

Flavouring 12ml

Saccharin Sodium 0.20g

Stevia powder extract 1g

Simethicone 0.02ml

Pluronic Gel 20% up to 100ml.

The procedure for making the formulation is as follows:

- Calibrate a beaker to final volume
- Weigh the powder ingredients
- Add Lignocaine, Saccharine, Sodium Metabisulphate to the beaker with flavouring and ethoxy diglycol reagent.
- Add a magnetic stirring bar and stir mixture well
- Create a vortex with the stir bar and slowly add the stevia to avoid lumps.
- Add lecithin isopropyl myristate solution and allow lignocaine to dissolve
- remove stirring bar and add Pluronic gel 20% to volume
- pour mixture into an appropriately sized unguator jar, remove excess
- Close lid tightly with mixing blade in place, expel all air and mix for a few minutes

If desired the gel may be stored in a syringe with any excess air removed or otherwise stored as desired. The air removal is preferably achieved by turning the syringe upside down and allowing the gel to settle on the plunger before removing the air.

The compounding procedure is an important part of the process as force used in mixing can encourage micelle formation. It is therefore preferred that this be reduced by using syringe to syringe techniques, a Dremel tool with mixing blade, electric mortars and ointment mills which can aid in the process.

Teething Gel

A preferred formulation for a teething gel is as follows:

Lignocaine USP 2.0g

Chlorhexadine Acetate 5% Solution 0.7ml

Phenylepherine HCL USP 0.25g

Sodium Metabisulphate 0.1g

Ethoxy Diglycol Reagent 10m,

Lecithin Isopropyl Palmitate/Myristate Solution 22ml

Flavouring 12ml

Pluronic Gel 20% up to 100ml.

The procedure for making the formulation is as follows:

- Weigh the Lignocaine, Phenylepherine HCl, Sodium Metabisulphate and add to an appropriately calibrated beaker.
- Measue the Ethoxy Diglycol Reagent, and Lecithin Isopropyl Palmitate Solution, Chlorhexidine solution and add to the beaker with a magnetic stirring bar.

- place beaker on a stirring plate and stir until the ingredients are mixed
- whilst stirring add flavouring, sweetener, bitterness suppressant and colour if necessary
- remove stirring bar and add Pluronic gel 20% to volume
- pour mixture into an appropriately sized unguator jar, remove excess
- Close lid tightly with mixing blade in place, expel all air and mix for a few minutes

If desired the gel may be stored in a syringe with any excess air removed or otherwise stored as desired. The air removal is preferably achieved by turning the syringe upside down and allowing the gel to settle on the plunger before removing the air.

The compounding procedure is an important part of the process as force used in mixing can encourage micelle formation. It is therefore preferred that this be reduced by using syringe to syringe techniques, a Dremel tool with mixing blade, electric mortars and ointment mills which can aid in the process.

While a variety of flavours may be used they may include the following:

PINA COLADA per 100ml

Bitterness Suppressant 2.5ml, Pineapple 3ml, Pina Colada 2.5ml, Peach Oil 0.5ml, Coconut 1ml, yellow 0.2ml

CARAMEL per 100 ml

Bitterness Suppressant 2.5ml, Cinnamon Oil 1ml, Caramel 8ml

STRAWBERRY per 100 ml

Bitterness suppressant 2.5ml, Strawberry 4ml, Blackberry Oil 1ml, watermelon 2.5ml, Krisgel to thicken, red 0.1ml

ORANGE per 100 ml

Bitterness suppressant 2.5ml, Orange cream 3.5ml, Orange natural concentrate 3.5ml, Tangerine oil 1ml, red 0.1ml, yellow 0.1ml

BUBBLEGUM per 100 ml

70 drp sweet, 50 drp bitter, 70drp bubble, 60drp banana, 40 drp grape

TROPICAL FLAVOUR per 100ml

90 drp sweet, 50 drp bitter, 80 drp strawberry, 20 drp peach oil, 10 drppineapple, 40 dro pina colada, 10 drp coconut, 10 drp banana

WILD BERRY per 100ml

90 drp sweet, 50 drp bitter, 80 drp strawberry, 20 drp blackberry oil, 40 drp watermelon and 2% Krisgel to thicken.

The above are examples of the gel formulation of the invention and it is envisaged that actual concentrations of ingredients can vary as can the actual ingredients which are chosen depending on the specific application.

For example the strength of the analgesic used in the gel for dentistry could be typically up to 10% lignocaine as described above while for over the counter type medications such as the teething gel 1% or 2% could be used.

In addition the previously suggested anaesthetic agents can generally be used up to 10% to achieve a specified effect while benzocaine can be up to 20%.

As has also been suggested the viscosity of any batch of the gel formulation can be adjusted by adding an appropriate thickener.

Clearly the concept of can be achieved in a variety of ways and while particular embodiments of the invention have been described herein it is to be understood that variations and modifications in the features described can still lie within the scope of the invention.

The claims defining the invention are as follows:

1. An oral anaesthetic gel including an anaesthetic in a transdermal gel base having added flavouring with a bitterness suppressant.

2. An oral anaesthetic gel as claimed in claim 1 wherein the base is Pluronic Gel in the range of 1% to 30%.

3. An oral anaesthetic gel as claimed in claim 2 wherein the base is Pluronic Gel 20%.

4. An oral anaesthetic gel as claimed in any one of claims 1 to 3 wherein the anaesthetic is Lignocaine in the range 0.1% to 20%.

5. An oral anaesthetic gel as claimed in claim 4 for use as a teething gel and proportionately including Lignocaine USP 2g, Chlorhexidine Acetate 5% solution 0.7ml, Phenylephrine HCL USP 0.25g, Sodium Metabisulphite 0.1g, Ethoxyl Diglycol Reagent 10ml, Lecithin Isopropyl Palmate/Myristrate Solution, Flavouring 12ml, Pluronic Gel 20% to 100ml.

6. An oral anaesthetic gel as claimed in claim 4 for use in dentistry and proportionately including Lignocaine USP 10g, Sodium Metabisulphite 0.1g, Ethoxy Diglycol Reagent 10ml, Lecithin Isopropyl Palmitate/Myristrate solution 22ml, flavouring 12ml, Saccharin Sodium 0.2g, Stevia powder extract 1g, Simethicone 0.02ml, and Pluronic Gel 20% to 100ml.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/AU2004/001817

A. CLASSIFICATION OF SUBJECT MATTER

Int. Cl. [7]: A61K 6/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
DWPI, MEDLINE; Keywords: anaesthetic, anesthetic, liodocaine, benzocaine, lignocaine, gel, dental, dentistry, dentist, teeth, tooth, periodontal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	Journal of Clinical Periodontology (2003) 30(3): 171-175, "A placebo-controlled multi-centred evaluation of an anaesthetic gel (Oraqix) for periodontal therapy", Donaldson D, Gelskey SC, Landry RG, Matthews DC, Sandhu HS, March 2003. Entire document	1-6
X	Journal of Clinical Periodontology (2001) 28(5): 453-458, "The anesthetic onset and duration of a new lidocaine/prilocaine gel intra-pocket anesthetic (Oraqix) for periodontal scaling/root planing", Friskopp J, Nilsson M, Isacsson G, May 2001. Entire document	1-6
X	Oral surgery, oral medicine, oral pathology, oral radiology, and endodontics, (2002) 94(2): 157-61, "Comparison of topical anesthesia of 20% benzocaine and 60% lidocaine gel." Fukayama Haruhisa, Suzuki Nagaaki, Umino Masahiro, August 2002. Entire document	1-6

[X] Further documents are listed in the continuation of Box C [X] See patent family annex

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

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"O" document referring to an oral disclosure, use, exhibition or other means

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"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
4 April 2005	8 APR 2005
Name and mailing address of the ISA/AU AUSTRALIAN PATENT OFFICE PO BOX 200, WODEN ACT 2606, AUSTRALIA E-mail address: pct@ipaustralia.gov.au Facsimile No. (02) 6285 3929	Authorized officer **TERRY SUMMERS** Telephone No : (02) 6283 3126

INTERNATIONAL SEARCH REPORT

International application No.
PCT/AU2004/001817

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	Journal of clinical pediatric dentistry, (1999) 23(3): 217-20. "Four types of topical anaesthetic agents: evaluation of clinical effectiveness." Tulga F, Mutlu Z, Spring 1999. Entire document	1-6
X	Pediatric dentistry, (2001) 23(1): 11-14. "Comparison of topical EMLA 5% oral adhesive to benzocaine 20% on the pain experienced during palatal anesthetic infiltration in children." Primosch R E, Rolland-Asensi G, Jan-Feb 2001. Entire document.	1-6
X	US 5,314,915 A (Rencher), 24 May 1994. Abstract, column 2 lines 4-6, 23-40, column 3 lines 15-19, the Examples and the Claims.	1-6
L, X	'Oraqix Product Information', DENTSPLY International Ltd [retrieved on 5-04-2005]. Retried from the Internet: <URL: http://www.oraqix.com>. Exact publication date not established.	1-6
L, X	'Hurricaine Gel Explanation', Beutlich Pharmaceuticals LP [retrieved on 5-04-2005]. Retried from the Internet: < URL: http://www.beutlich.com/hurgelexpl.htm>. Exact publication date not established.	1-6
L, X	Catalogue of Available Teething Gels, expresschemist.org.uk [retrieved on 5-04.2005]. Retrieved from the Internet: <URL: http://www.expresschemist.co.uk/category_2010_teethinggels.html>. Exact publication date not established.	1-6

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.
PCT/AU2004/001817

This Annex lists the known "A" publication level patent family members relating to the patent documents cited in the above-mentioned international search report. The Australian Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

Patent Document Cited in Search Report	Patent Family Member		
US 5314915	CA 2078899	US 5192802	US 5462749

Due to data integration issues this family listing may not include 10 digit Australian applications filed since May 2001.

END OF ANNEX